

Attorney Docket Number O 98411 US

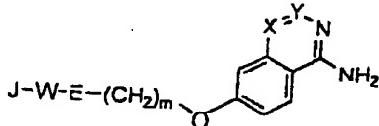
**IN THE CLAIMS**

Please replace claims 1, 3, 4 and 5 with new claims 1, 3, 4 and 5 as follows, and please cancel claim 9 without prejudice or disclaimer of the subject matter thereof.

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IN THE CLAIMS (Clean Sheet)

1. (Twice Amended) A serine protease inhibitor having the formula (I),



*β* in which

**J** is H, R<sup>1</sup>, R<sup>1</sup>-O-C(O)-, R<sup>1</sup>-C(O)-, R<sup>1</sup>-SO<sub>2</sub>-, R<sup>3</sup>OOC-(CHR<sup>2</sup>)<sub>p</sub>-,  
(R<sup>2a</sup>, R<sup>2b</sup>)N-CO-(CHR<sup>2</sup>)<sub>p</sub>- or Het-CO-(CHR<sup>2</sup>)<sub>p</sub>-;

**W** is an amino-acid of the formula -NH-CHR<sup>1</sup>-C(O)-,

-NR<sup>4</sup>-CH((CH<sub>2</sub>)<sub>q</sub>C(O)OR<sup>1</sup>)-C(O)-,

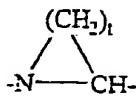
-NR<sup>4</sup>-CH((CH<sub>2</sub>)<sub>q</sub>C(O)N(R<sup>2a</sup>, R<sup>2b</sup>))-C(O)-,

-NR<sup>4</sup>-CH((CH<sub>2</sub>)<sub>q</sub>C(O)Het)-C(O)-,

D-1-Tiq, D-3-Tiq, D-Atc, Aic, D-1-Piq, D-3

Piq, glutanyl or a (C<sub>1</sub>-C<sub>6</sub>) alkylester thereof;

**E** is -NR<sup>2</sup>-CH<sub>2</sub>- or the fragment



, which is unsubstituted or substituted with (1-6C)alkyl, (1-6C)alkoxy or benzyloxy;

R<sup>1</sup> is selected from (1-12C)alkyl,

(2-12C)alkenyl, (2-12C)alkynyl, (3-12C)cycloalkyl and (3-12C)cycloalkyl(1-6C)alkylene, which groups are unsubstituted or substituted with (3-12C)cycloalkyl, (1-6C)alkoxy, oxo, OH, CF<sub>3</sub> or halogen, and from

(6-14C)aryl, (7-15C)aralkyl, (8-16C)aralkenyl and

(14-20C)(bisary)alkyl, wherein the aryl groups are unsubstituted or substituted with (1-6C)alkyl,

(3-12C)cycloalkyl, (1-6C)alkoxy, OH, CF<sub>3</sub> or halogen;

R<sup>2</sup>, R<sup>2a</sup> and R<sup>2b</sup> are each independently selected from

H, (1-8C)alkyl, (3-8C)alkenyl, (3-8C)alkynyl,

(3-8C)cycloalkyl and (3-6C)cycloalkyl(1-4C)alkylene, which

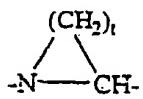
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are unsubstituted or substituted with (3-6C)cycloalkyl, (1-6C)alkoxy, CF<sub>3</sub> or halogen, and from (6-14C)aryl and (7-15C)aralkyl, wherein the aryl groups are unsubstituted or substituted with (1-6C)alkyl, (3-6C)cycloalkyl, (1-6C)alkoxy, CF<sub>3</sub> or halogen; R<sup>3</sup> is the same as R<sup>2</sup> or is Het-(1-6C)alkyl; R<sup>4</sup> is H or (1-3C)alkyl; X and Y are CH or N, with the proviso that they are not both N; Het is a 4-, 5- or 6-membered heterocycle containing one or more heteroatoms selected from O, N and S; m is 1 or 2; p is 1, 2 or 3; q is 1, 2 or 3; t is 2, 3 or 4; or a pharmaceutically acceptable addition salt or solvate thereof.

*B1*  
3. (Twice Amended) The serine protease inhibitor according to claim 2, wherein

J is H, R<sup>1</sup>R<sup>1</sup>-SO<sub>2</sub>-, R<sup>3</sup>OOC-(CHR<sup>2</sup>)<sub>p</sub>-, (R<sup>2a</sup>, R<sup>2b</sup>)N-CO-(CHR<sup>2</sup>)<sub>p</sub>- or Het-CO(CHR<sup>2</sup>)<sub>p</sub>-;

*B2*  
W is an amino-acid of the formula -NH-CHR<sup>1</sup>-C(O)-, -NR<sup>4</sup>-CH((CH<sub>2</sub>)<sub>q</sub>C(O)OR<sup>1</sup>)-C(O)-, -NR<sup>4</sup>-CH((CH<sub>2</sub>)<sub>q</sub>C(O)N(R<sup>2a</sup>, R<sup>2b</sup>))-C(O)-, E is -N(3-6C)cycloalkyl-CH<sub>2</sub>- or the fragment



, which is unsubstituted or substituted with (1-6C)alkyl or 1-6C)alkoxy;

R<sup>1</sup> is selected from (1-12C)alkyl, (3-12C)cycloalkyl and

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(3-12C)cycloalkyl(1-6C)alkylene, which groups are unsubstituted or substituted with (3-12C)cycloalkyl, (1-6C)alkoxy or oxo, and from (6-14C)aryl, (7-15C)aralkyl and (14-20C)(bisaryl)alkyl, wherein the aryl groups are unsubstituted or substituted with (1-6C)alkyl, (3-12C)cycloalkyl, (1-6C)alkoxy, OH, CF<sub>3</sub> or halogen;

R<sup>2</sup> is H;

R<sup>2a</sup> and R<sup>2b</sup> are each independently selected from H, (1-8C)alkyl, (3-8C)cycloalkyl and (3-6C)cycloalkyl(1-4C)alkylene, which are unsubstituted or substituted with (3-6C)cycloalkyl or (1-6C)alkoxy and from (6-14C)aryl and (7-15C)aralkyl, wherein the aryl groups are unsubstituted or substituted with (1-6C)alkyl, (3-6C)cycloalkyl, (1-6C)alkoxy, CF<sub>3</sub> or halogen;

B2  
R<sup>3</sup> is selected from H, (1-8C)alkyl, (3-8C)cycloalkyl and (3-6C)cycloalkyl(1-4C)alkylene, which are unsubstituted or substituted with (3-6C)cycloalkyl or (1-6C)alkoxy, and from (7-15C)aralkyl, wherein the aryl groups are unsubstituted or substituted with (1-6C)alkyl, (3-6C)cycloalkyl, (1-6C)alkoxy, CF<sub>3</sub> or halogen and from Het-(1-6C)alkyl;

p is 1;

q is 2;

t is 3 or 4.

4. (Twice Amended) The serine protease inhibitor according to claim 3, wherein

w is an amino-acid of the formula -NH-CHR<sup>1</sup>-C(O)- or glutamyl or an (1-6C)alkylester thereof;

R<sup>1</sup> is selected from (3-12C)cycloalkyl and (3-12C)cycloalkyl(1-6C)alkylene, which groups are unsubstituted or substituted with (3-12C)cycloalkyl

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or (1-6C)alkoxy, and from (6-14C)aryl,  
(7-15C)aralkyl and (14-20C)(bisaryl)alkyl, wherein the  
aryl groups are unsubstituted or substituted with  
(1-6C)alkyl, (3-12C)cycloalkyl, (1-6C)alkoxy or  
halogen; and

R<sup>3</sup> is selected from (1-8C)alkyl and (3-8C)cycloalkyl,  
which are unsubstituted or substituted with  
(3-6C)cycloalkyl or (1-6C)alkoxy, and from  
(7-15C)aralkyl, wherein the aryl groups are  
unsubstituted or substituted with (1-6C)alkyl,  
(3-6C)cycloalkyl, (1-6C)alkoxy, CF<sub>3</sub> or halogen and  
from Het-(1-6C)alkyl.

β<sup>2</sup>  
5. (Twice Amended) The serine protease inhibitor according  
to claim 4, wherein

J is -CH<sub>2</sub>COO(1-6C)alkyl, (3-8C)cycloalkyl,  
-SO<sub>2</sub>-10-camphor, -CH<sub>2</sub>CONHphenyl or -CH<sub>2</sub>CONH(3-  
8C)cycloalkyl;

W is D-cyclohexylalaninyl, D-phenylalaninyl,  
D-diphenylalaninyl or glutamyl, or an (1-  
6C)alkylester thereof; and

E is the fragment

